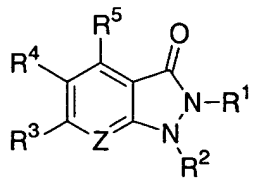
CLAIMS

1. A compound of formula **I**:



I

or a pharmaceutically acceptable salt thereof, wherein:

R^1 and R^2 are each independently hydrogen or a nitrogen protecting group;

one of R^3 or R^4 is -R and the other one of R^3 or R^4 is $-Q^1$-A-Q^2-Y,

wherein Q^1 is a valence bond, $-NR^A$-, -$C(R^A)_2$-, -S-, -O-, -SO_2-, -NR^ASO_2-, -SO_2NR^A-, -CO-, -NR^ACO-, -$CONR^A$-, -OC(O)-, -C(O)O-, -$OC(O)NR^A$, 1,2-cyclopropyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, or is an optionally substituted C_{2-4}alkylidene chain, wherein one or more methylene units of the optionally substituted C_{2-4}alkylidene chain is optionally replaced by –O-, -S-, -NR^A-, -NR^ACO-, -NR^ACONR^A-, -NR^ACO_2-, -CO-, -CO_2-, -$CONR^A$-, -$OC(O)NR^A$-, -SO_2-, -SO_2NR^A-, -NR^ASO_2-, -$NR^ASO_2NR^A$-, -C(O)C(O)-, or -$C(O)C(R^A)_2C(O)$-, wherein each occurrence of R^A is independently hydrogen or optionally substituted C_{1-4}aliphatic, or two occurrences of R^A on the same carbon atom are taken together to form an optionally substituted 3-6-membered carbocyclic ring;

A is an optionally substituted group selected from a 5-7-membered monocyclic or 8-10-membered bicyclic aryl, heteroaryl, heterocyclic or carbocyclic ring, or is an optionally substituted C_{2-6} alkylidene chain wherein one or more methylene units of said C_{2-6} alkylidene chain is optionally replaced by –O-, -S-, -NR^B-, -NR^BCO-, -NR^BCONR^B-, -NR^BCO_2-, -CO-, -C(O)O-, -OC(O)-, -$CONR^B$-, -$OC(O)NR^B$-, -SO_2-, -SO_2NR^B-, -NR^BSO_2-, -$NR^BSO_2NR^B$-, -C(O)C(O)-, or -$C(O)C(R^B)_2C(O)$-, and each occurrence of R^B is independently hydrogen or optionally substituted group selected from C_{1-6} aliphatic, C_{1-6}heteroaliphatic, aryl or heteroaryl;

Q^2 is NR^C, S, O, or $C(R^C)_2$, wherein each occurrence of R^C is independently hydrogen or optionally substituted C_{1-4}aliphatic;

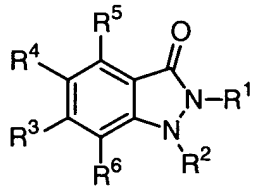
Y is an optionally substituted group selected from a 5-7-membered monocyclic or 8-10 membered bicyclic aryl, heteroaryl, heterocyclic or carbocyclic ring;

R^5 is -R;

Z is N or CR^6, wherein R^6 is -R; and

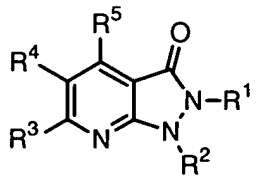
each occurrence of -R is independently hydrogen, $Q_{(n)}$halogen, $Q_{(n)}CN$, $Q_{(n)}NO_2$, or $Q_{(n)}R^7$, wherein n is zero or one, Q is an optionally substituted C_{1-4} alkylidene chain wherein one or more methylene units of Q is optionally replaced by –O-, -S-, $-NR^7-$, $-NR^7CO-$, $-NR^7CONR^7-$, $-NR^7CO_2-$, -CO-, $-CO_2-$, $-CONR^7-$, $-OC(O)NR^7-$, $-SO_2-$, $-SO_2NR^7-$, $-NR^7SO_2-$, $-NR^7SO_2NR^7-$, -C(O)C(O)-, or $-C(O)C(R^7)_2C(O)-$, and each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8-membered heterocyclic or 5-8-membered heteroaryl ring.

2. The compound of claim 1, wherein Z is CR^6 and the compound has the structure (**Ia**):



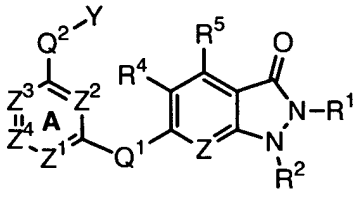
Ia

3. The compound of claim 1, wherein Z is CR^6 and the compound has the structure (**Ib**):

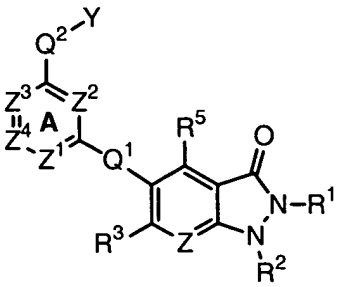


Ib

4. The compound of claim 1, wherein either of R^3 or R^4 is $-Q^1$-A-Q^2-Y, wherein A is a substituted or unsubstituted aryl or heteroaryl moiety and compounds have the general formula **IIa** or **IIb:**



IIa



IIb

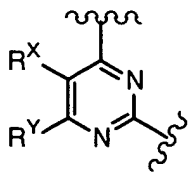
wherein Z^1 is N or CR^V, Z^2 is N or CR^W, Z^3 is N or CR^X and Z^4 is N or CR^Y, wherein R^V, R^W, R^X and R^Y are each independently R^8, or R^X and R^Y, or R^V and R^Y are taken together with their intervening atoms to form a fused, unsaturated or partially unsaturated, 5-8 membered ring having 0-3 ring heteroatoms selected from oxygen, sulfur, or nitrogen, wherein any substitutable carbon on said fused ring formed by R^X and R^Y or by R^V and R^Y is substituted by oxo or R^8, and any substitutable nitrogen on said ring formed by R^X and R^Y or by R^V and R^Y is substituted by R^9;

wherein each occurrence of R^8 is independently -R; and

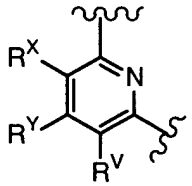
each occurrence of R^9 is independently hydrogen, $-R^{'}$, $-COR^{'}$, $-CO_2(R^{'})$, $-CON(R^{'})_2$, or $-SO_2R^{'}$, wherein each occurrence of $R^{'}$ is independently hydrogen, optionally substituted aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of $R^{'}$ on the same nitrogen atom

are taken together with the nitrogen to form an optionally substituted 5-8 membered heterocyclic or heteroaryl ring.

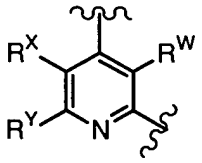
5. The compound of claim 4, wherein A represents one of the following moieties:



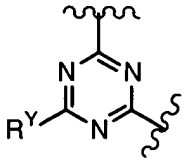
i



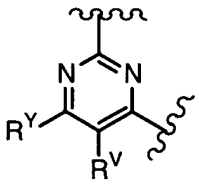
ii



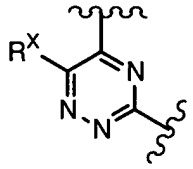
iii



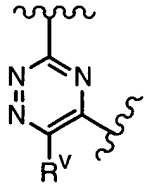
iv



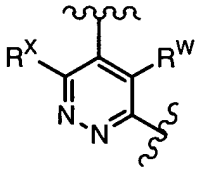
v



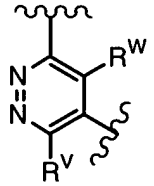
vi



vii

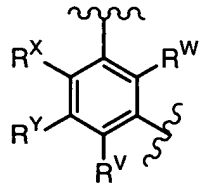


viii



ix

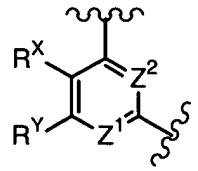
and



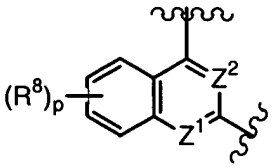
x

6. The compound of claim 5, wherein ring A is one of formulas **i, ii, iii** or **x**.

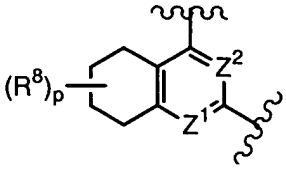
7. The compound of claim 4, wherein Ring A is one of groups **II-A** through **II-DD**, wherein Z^1 is nitrogen or CR^V, Z^2 is nitrogen or CR^W, and p is 0-4:



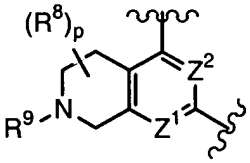
II-A



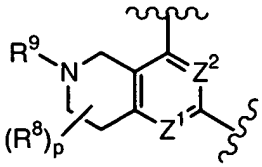
II-B



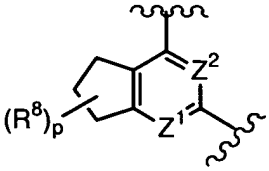
II-C



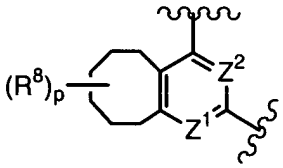
II-D



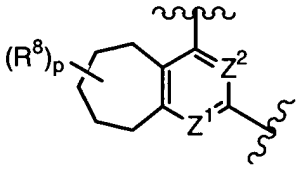
II-E



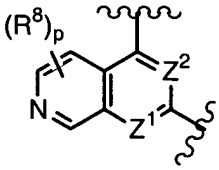
II-F



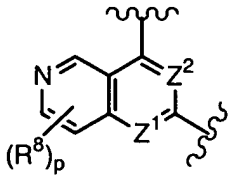
II-G



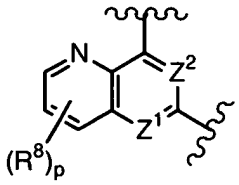
II-H



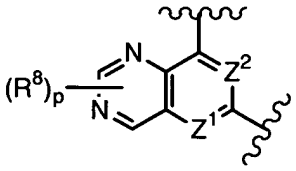
II-I



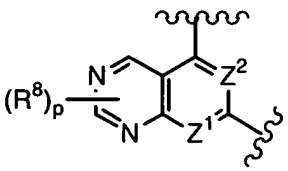
II-J

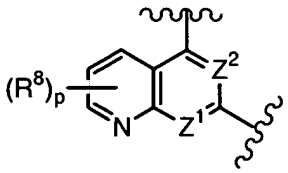


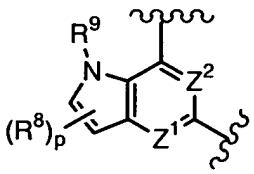
II-K



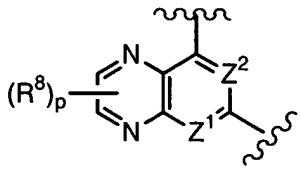
II-L



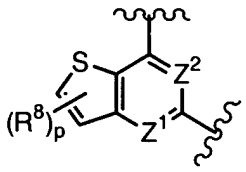




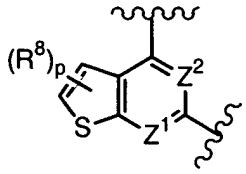
II-M



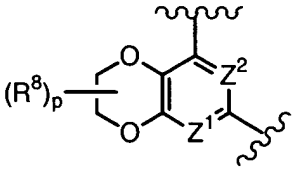
II-N



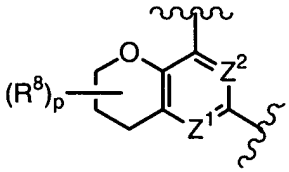
II-O



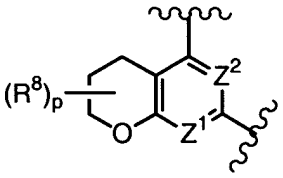
II-P



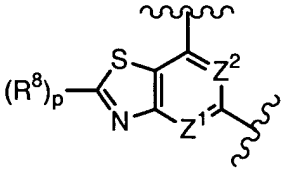
II-Q



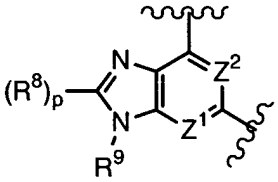
II-R



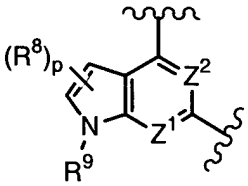
II-S



II-T



II-U

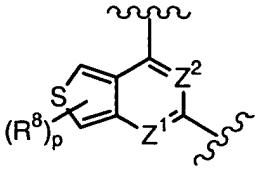


II-V

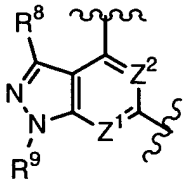
II-W

II-X

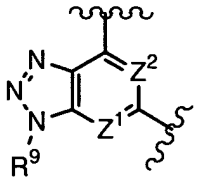
II-Y



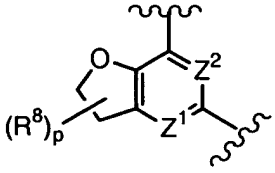
II-Z



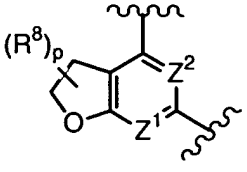
II-AA



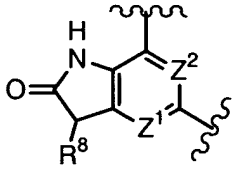
II-BB



II-BB



II-CC



8. The compound of claim 7, wherein ring A is one of **II-A, II-B, II-C, II-D, II-E, II-F, II-H, II-I, II-J, II-K, II-L, II-N, II-O, or II-DD**.

9. The compound of claim 7, wherein ring A is one of **II-A, II-B, II-C, II-D, II-E, II-H, or II-K**.

10. The compound of claim 7, wherein ring A is one of **II-A** or **II-B**.

11. The compound of claim 7, wherein Z^1 is CR^V and Z^2 is CR^W.

12. The compound of claim 7, wherein Z^1 is N and Z^2 is N.

13. The compound of claim 7, wherein Z^1 is N and Z^2 is CR^W.

14. The compound of claim 7, wherein Z^1 is CR^V and Z^2 is N.

15. The compound of claim 4, wherein A is a monocyclic ring system and R^X groups, when present, include hydrogen, alkyl- or dialkylamino, acetamido, or a C_{1-4} aliphatic group such as methyl, ethyl, cyclopropyl, or isopropyl; R^Y groups, when present, include hydrogen, an optionally substituted group selected from C_{1-6} aliphatic, C_{1-6} heteroaliphatic, aryl, or heteroaryl, $-Q_{(n)}N(R^7)_2$, $-Q_{(n)}OR^7$, $-Q_{(n)}SR^7$, $-Q_{(n)}(C=O)O(R^7)$, $-Q_{(n)}C(O)N(R^7)_2$, $-Q_{(n)}NHC(O)R^7$, $-Q_{(n)}NHSO_2R^7$, or $-Q_{(n)}SO_2N(R^7)_2$, wherein n is 0 or 1, and wherein Q is preferably $-(C(R'')_2)-$, wherein R" is hydrogen or C_{1-3}alkyl, and wherein each occurrence of R^7 is independently hydrogen, optionally substituted aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

16. The compound of claim 15, wherein R^Y is one of the following groups: optionally substituted 5-6 membered heteroaryl or heterocyclyl rings, such as 2-pyridyl, 4-pyridyl, pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl; optionally substituted aryl or cycloalkyl

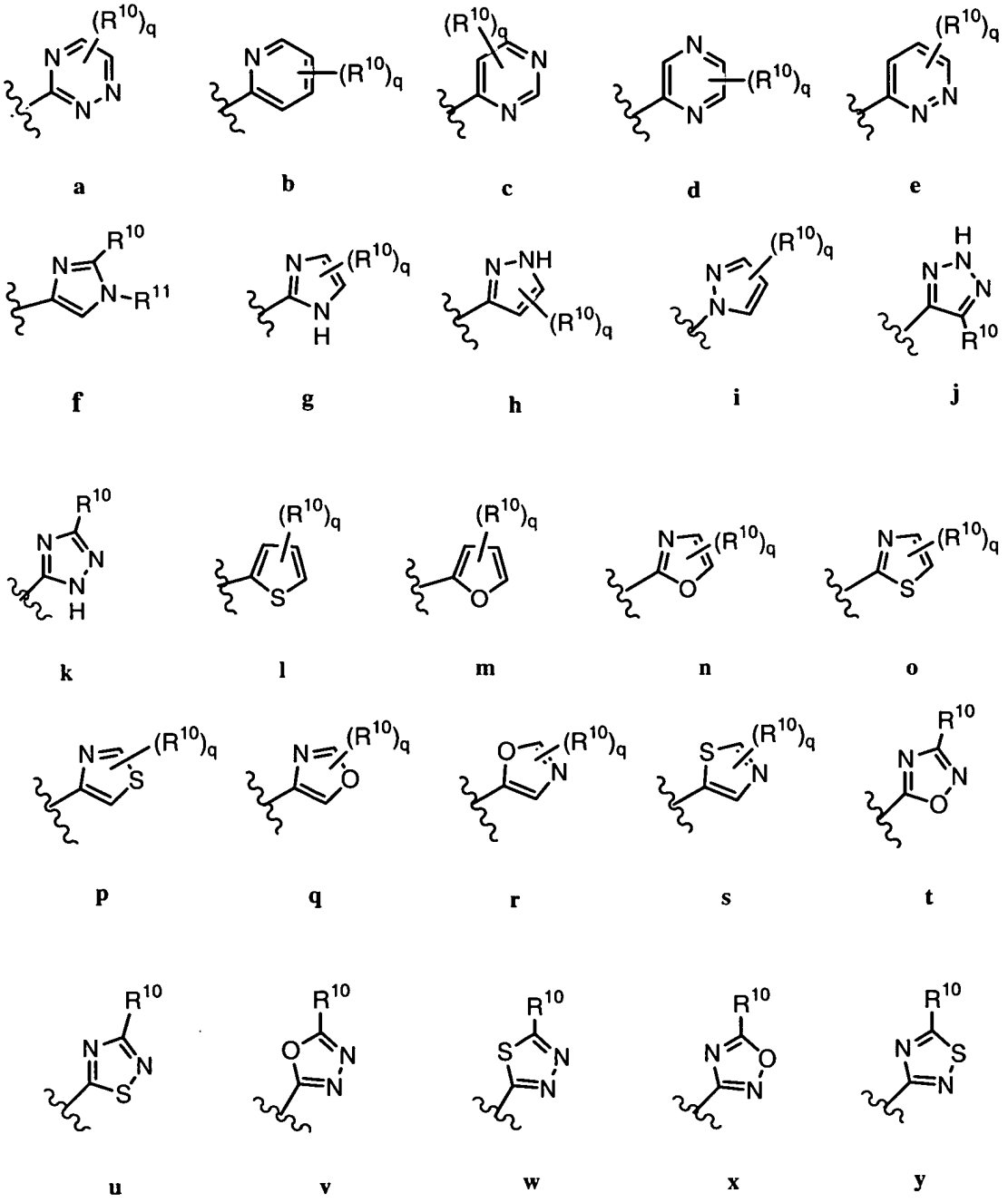
rings such as phenyl, halogen substituted phenyl, alkoxy substituted phenyl, trifluoromethyl substituted phenyl, nitro substituted phenyl, methyl substituted phenyl; optionally substituted C_{1-6} aliphatic, such as methyl, ethyl, cyclopropyl, cyclopentyl, cyclohexyl, amino substituted cycloalkyl, acetamido substituted cycloalkyl, isopropyl, or t-butyl; alkoxyalkylamino such as methoxyethylamino; alkoxyalkyl such as methoxymethyl or methoxyethyl; aminoalkyl such as aminoethyl, dimethylaminoethyl; alkyl- or dialkylamino such as ethylamino or dimethylamino; alkyl- or dialkylaminoalkoxy such as dimethylaminopropyloxy; alkyl- or dialkylaminoalkoxyalkyl such as dimethylaminoethoxymethyl; or acetamido.

17. The compound of claim 4, wherein A is a bicyclic ring system and the bicyclic ring system A may be substituted by one or more occurrences of oxo, R^8 or R^9, wherein R^8 is $-R^7$, halo, $-O(CH_2)_{2-4}-N(R^7)_2$, $-O(CH_2)_{2-4}-R^7$, $-OR^7$, $-N(R^7)-(CH_2)_{2-4}-N(R^7)_2$, $-N(R^7)-(CH_2)_{2-4}-R^7$, $-C(=O)R^7$, $-CO_2R^7$, $-COCOR^7$, $-NO_2$, -CN, $-S(O)R^7$, $-SO_2R^7$, $-SR^7$, $-N(R^7)_2$, $-CON(R^7)_2$, $-SO_2N(R^7)_2$, $-OC(=O)R^7$, $-N(R^7)COR^7$, $-N(R^7)CO_2$(optionally substituted C_{1-6} aliphatic), $-N(R^7)N(R^7)_2$, $-C=NN(R^7)_2$, -C=N-OR, $-NHOR^7$, $-N(R^7)CON(R^7)_2$, $-N(R^7)SO_2N(R^7)_2$, $-N(R^7)SO_2R^7$, or $-OC(=O)N(R^7)_2$, wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

18. The compound of claim 17 wherein each occurrence of R^8 is independently -halo, $-R^7$, $-OR^7$, $-COR^7$, $-CO_2R^7$, $-CON(R^7)_2$, $-O(C=O)N(R^7)_2$, -CN, $-O(CH_2)_{2-4}-N(R^7)_2$, $-O(CH_2)_{2-4}-R^7$, $-NO_2$ $-N(R^7)_2$, $-NR^7COR^7$, $-NR^7SO_2R^7$, $-SO_2N(R^7)_2$ wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

19. The compound of claim 4, wherein Y is an optionally substituted heteroaryl moiety.

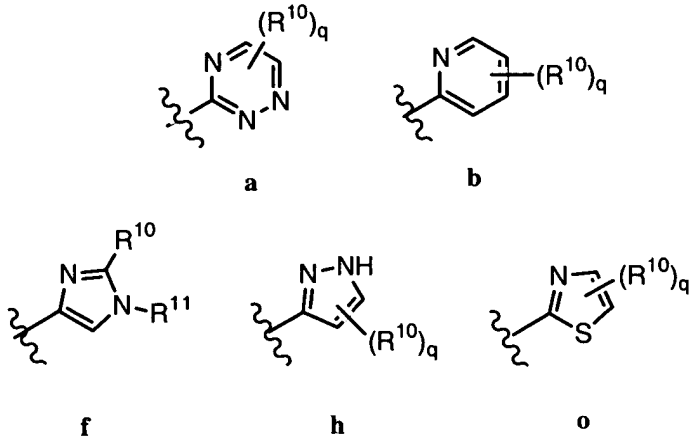
20. The compound of claim 4, wherein Y is selected from one of the following heteroaryl moieties **a-y**:



herein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two

occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

21. The compound of claim 20, wherein Y is one of the following heteroaryl moieties:



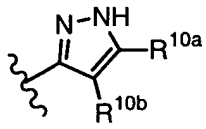
wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

22. The compound of claim 20, wherein Y is a pyrazole moiety, **h**.

23. The compound of claim 20, wherein each R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, or (N-heterocycle)carbonyl.

24. The compound of claim 20, wherein each occurrence of R^{10} is independently methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, or CO(piperidin-1-yl).

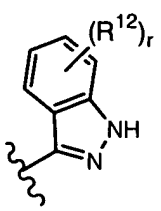
25. The compound of claim 20, wherein, Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b})



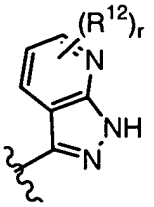
h'

wherein R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl; and R^{10b} is hydrogen.

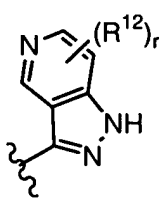
26. The compound of claim 20, wherein two occurrences of R^{10} (R^{10a} and R^{10b} as depicted in formula **h'**) taken together may represent a substituted or unsubstituted cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl moiety and comprises one of the following groups:



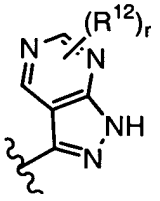
h-i



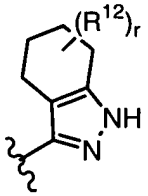
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl, wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

27. The compound of claim 4, wherein when R^3 is $-Q^1$-A-Q^2-Y, R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

28. The compound of claim 27, wherein R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

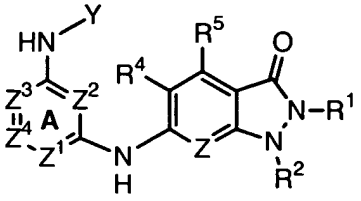
29. The compound of claim 4, wherein when R^4 is $-Q^1$-A-Q^2-Y, R^3 is preferably hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

30. The compound of claim 29, wherein R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

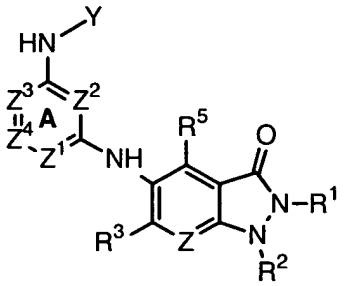
31. The compound of claim 4, wherein R^5 is hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl.

32. The compound of claim 31 wherein R^5 is Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

33. The compound of claim 4, wherein Q^1 is NH and Q^2 is NH, and compounds are defined by the general formula **IIa(i)** or **IIb(i)**:

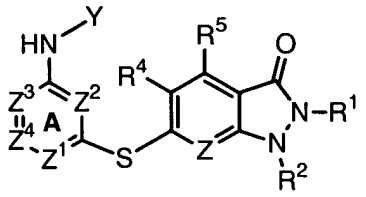


IIa(i)

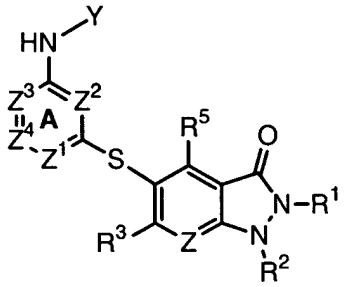


IIb(i)

34. The compound of claim 4, wherein Q^1 is S and Q^2 is NH, and compounds are defined by the general formula **IIa(ii)** or **IIb(ii)**:

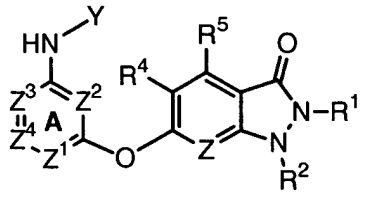


IIa(ii)

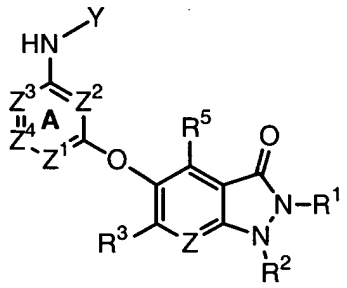


IIb(ii)

35. The compound of claim 4, wherein Q^1 is O and Q^2 is NH, and compounds are defined by the general formula **IIa(iii)** or **IIb(iii)**:

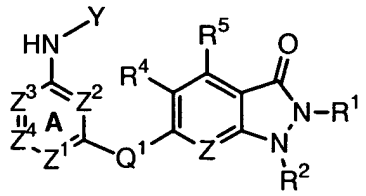


IIa(iii)

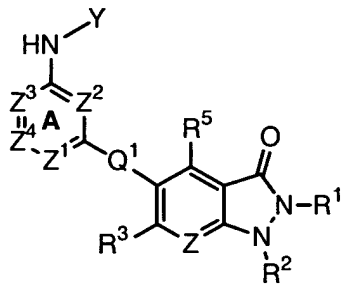


IIb(iii)

36. The compound of claim 4, wherein Q^2 is NH, and compounds are defined by the general formula **IIa(iv)** or **IIb(iv)**:



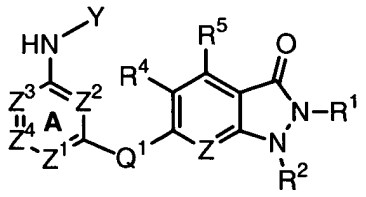
IIa(iv)



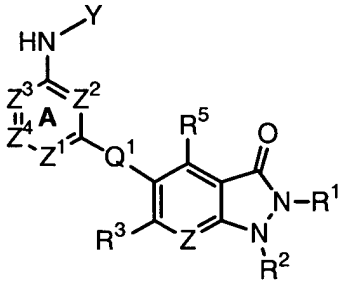
IIb(iv)

wherein Q^1 is $-C(R^A)_2-$, 1,2-cyclopropyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, an optionally substituted C_{2-4}alkylidene group, wherein one methylene unit of the optionally substituted C_{2-4}alkylidene chain is optionally replaced by –O-, -S-, or $-NR^A-$, wherein each occurrence of R^A is independently hydrogen or optionally substituted C_{1-4}aliphatic.

37. The compound of claim 4, wherein Q^2 is NH and Y is an optionally substituted heteroaryl moiety, and compounds are defined by the general formula **IIa(v)** or **IIb(v)**:



IIa(v)



IIb(v)

wherein Q^1 is a direct bond.

38. The compound of any one of claims 33, 34, 35, 36 or 37, wherein:

i. Z is CR^6 or N;

ii. R^1, R^2, R^4 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen; or R^1, R^2, R^3 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen;

iii. ring A is defined according to one of the following groups:

a. ring A is one of formulas **i**, **ii**, **iii**, **iv**, **v**, **vi**, **vii**, **viii**, **ix**, or **x**;

b. ring A is one of formulas **II-A, II-B, II-C, II-D, II-E, II-F, II-G, II-H, II-I, II-J, II-K, II-L, II-M, II-N, II-O, II-P, II-Q, II-R, II-S, II-T, II-U, II-V, II-W, II-X, II-Y, II-Z, II-AA, II-BB, II-CC, or II-DD;**

c. ring A is one of formulas **II-A, II-B, II-C, II-D, II-E, II-F, II-H, II-I, II-J, II-K, II-L, II-N, II-O**, or **II-DD**;

d. ring A is one of formulas **II-A, II-B, II-C, II-D, II-E, II-H, or II-K**;

e. ring A is one of formulas **II-A** or **II-B**;

f. ring A is **II-A** and Z^1 is CR^V and Z^2 is CR^W;

g. ring A is **II-A** and Z^1 is N and Z^2 is N;

h. ring A is **II-A** and Z^1 is N and Z^2 is CR^W;

i. ring A is **II-A** and Z^1 is CR^V and Z^2 is N;

j. ring A is an optionally substituted aryl or heteroaryl moiety of formula **i, ii, iii** or **x**;

k. ring A is a monocyclic ring system and R^V and R^W, when present, are hydrogen or amino; R^X groups, when present, is hydrogen, alkyl- or dialkylamino, acetamido, or a C_{1-4} aliphatic group such as methyl, ethyl, cyclopropyl, or isopropyl; R^Y groups, when present, is hydrogen, an optionally substituted group selected from hydrogen, C_{1-6} aliphatic, C_{1-6} heteroaliphatic, aryl, or heteroaryl, $-Q_{(n)}N(R^7)_2$, $-Q_{(n)}OR^7$, $-Q_{(n)}SR^7$, $-Q_{(n)}(C=O)O(R^7)$, $-Q_{(n)}C(O)N(R^7)_2$, $-Q_{(n)}NHC(O)R^7$, $-Q_{(n)}NHSO_2R^7$, or $-Q_{(n)}SO_2N(R^7)_2$, wherein n is 0 or 1, and wherein Q is preferably $-(C(R'')_2)-$, wherein R" is hydrogen or C_{1-3}alkyl, and wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring;

l. ring A is a monocyclic ring system and R^V, R^W and R^X groups, when present, are hydrogen or amino; R^Y groups include groups selected from optionally substituted 5-6 membered heteroaryl or heterocyclyl rings, such as 2-pyridyl, 4-pyridyl, pyrrolidinyl, piperidinyl, morpholinyl, or piperazinyl; optionally substituted aryl or cycloalkyl rings such as phenyl, halogen substituted phenyl, alkoxy substituted phenyl, trifluoromethyl substituted phenyl, nitro substituted phenyl, methyl substituted phenyl; optionally substituted C_{1-6} aliphatic, such as methyl, ethyl, cyclopropyl, cyclopentyl, cyclohexyl, amino substituted cycloalkyl, acetamido substituted cycloalkyl, isopropyl, or t-butyl; alkoxyalkylamino such as methoxyethylamino; alkoxyalkyl such as methoxymethyl or methoxyethyl; aminoalkyl such as aminoethyl,

dimethylaminoethyl; alkyl- or dialkylamino such as ethylamino or dimethylamino; alkyl- or dialkylaminoalkoxy such as dimethylaminopropyloxy; alkyl- or dialkylaminoalkoxyalkyl such as dimethylaminoethoxymethyl; and acetamido;

m. ring A system is a bicyclic ring system and the ring formed when R^x and R^y are taken together may be substituted or unsubstituted;

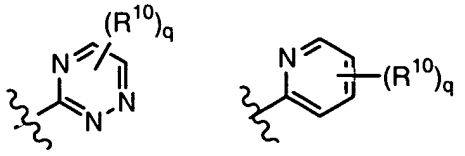
n. ring A system is a bicyclic ring system formed by R^X and R^Y taken together and substituted by one or more occurrences of R^8 or R^9, wherein each occurrence of R^8 is independently $-R^7$, halo, $-O(CH_2)_{2-4}-N(R^7)_2$, $-O(CH_2)_{2-4}-R^7$, $-OR^7$, $-N(R^7)-(CH_2)_{2-4}-N(R^7)_2$, $-N(R^7)-(CH_2)_{2-4}-R^7$, $-C(=O)R^7$, $-CO_2R^7$, $-COCOR^7$, $-NO_2$, -CN, $-S(O)R^7$, $-SO_2R^7$, $-SR^7$, $-N(R^7)_2$, $-CON(R^7)_2$, $-SO_2N(R^7)_2$, $-OC(=O)R^7$, $-N(R^7)COR^7$, $-N(R^7)CO_2$(optionally substituted C_{1-6} aliphatic), $-N(R^7)N(R^7)_2$, $-C=NN(R^7)_2$, -C=N-OR, $-NHOR^7$, $-N(R^7)CON(R^7)_2$, $-N(R^7)SO_2N(R^7)_2$, $-N(R^7)SO_2R^7$, or $-OC(=O)N(R^7)_2$, wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring; and each occurrence of R^9 is independently hydrogen, $-R'$, $-COR'$, $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring,

iv. Y is defined according to one of the following groups:

a. Y is an optionally substituted heteroaryl moiety;

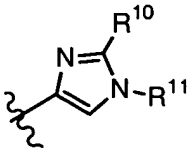
b. Y is selected from one of the heteroaryl moieties **a-y**;

c. Y is selected from one of the following heteroaryl moieties:

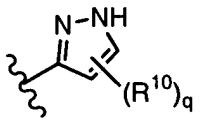


a

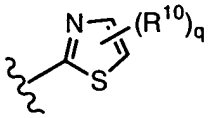
b



f



h



o

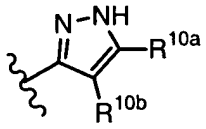
wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring;

d. Y is a pyrazole moiety, **h**;

e. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl;

f. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl).

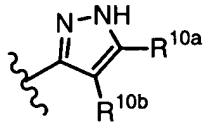
g. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),



h'

wherein each occurrence of R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl, and R^{10b} is hydrogen;

h. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),

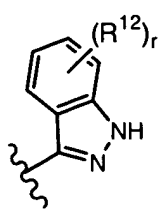


h'

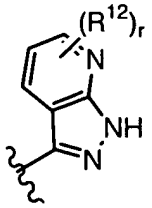
wherein each occurrence of R^{10a} is hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl, and R^{10b} is hydrogen;

i. Y is heteroaryl moiety substituted by at least two occurrences of R^{10} and where two occurrences of R^{10} taken together may represent an optionally substituted group selected from cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl;

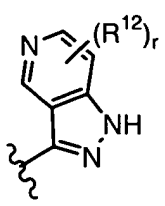
j. Y represents one of the following heteroaryl moieties:



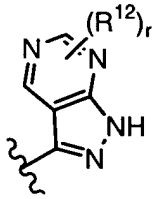
h-i



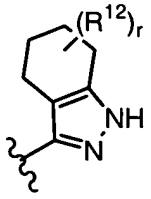
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl;

v. for compounds of formula **IIa(i)**, R^4 is defined according to one of the following groups:

a. R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

b. R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$;

vi. for compounds of formula **IIb(i)**, R^3 is defined according to one of the following groups:

a. R^3 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

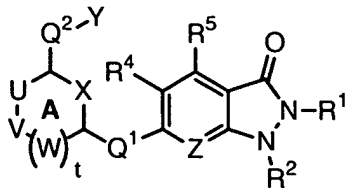
b. R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$; and

vii. R^5 is defined according to one of the following groups:

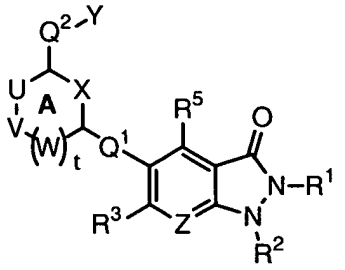
a. hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl, or

b. R^5 is hydrogen, Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

39. The compound of claim 1, wherein either of R^3 or R^4 is $-Q^1$-A-Q^2-Y, wherein A is an optionally substituted cycloaliphatic or heterocycloaliphatic moiety and compounds have the general formula **IIIa** or **IIIb:**



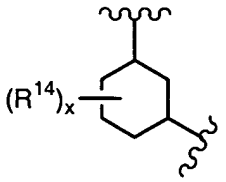
IIIa



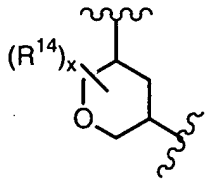
IIIb

wherein U is NR^{13}, $C(R^{14})_2$, or O; V is NR^{13}, $C(R^{14})_2$, or O; W is NR^{13}, $C(R^{14})_2$, or O, and X is NR^{13}, $C(R^{14})_2$, or O, and t is 0, 1 or 2, wherein each occurrence of R^{13} is is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, optionally substituted group selected from C_{1-6} aliphatic, C_{1-6}heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring; and wherein each occurrence of R^{14} is independently –R, with the proviso that when any one of U, V, W, or X is O or NR^{13}, an adjacent group U, V, W or X is $C(R^{14})_2$.

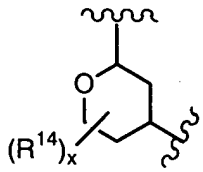
40. The compound of claim 39, wherein ring **A** is selected from the following group:



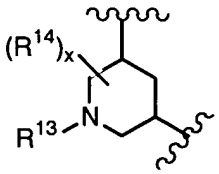
xi



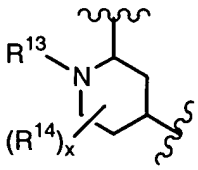
xii



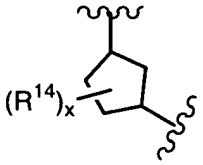
xiii



xiv



xv



xvi

wherein R^{13} is hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or heteroaryl ring; R^{14} is oxo or –R; and x is 0-4.

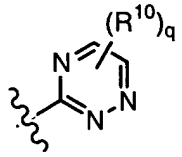
41. The compound of claim 40, wherein x is 0 or 1 and R^{14} is -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl.

42. The compound of claim 40, wherein R^{13} is hydrogen or C_{1-4}alkyl.

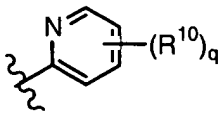
43. The compound of claim 39, wherein ring **A** is selected from one of **xi**, **xii** or **xvi** and x is 0.

44. The compound of claim 39, wherein Y is an optionally substituted heteroaryl moiety.

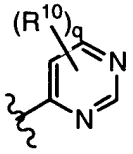
45. The compound of claim 39, wherein Y is selected from one of the following heteroaryl moieties **a-y**:



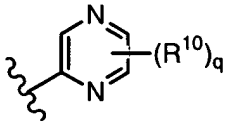
a



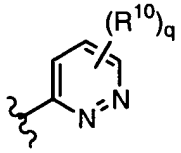
b



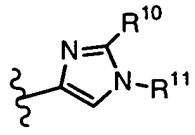
c



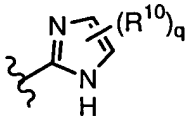
d



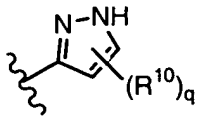
e



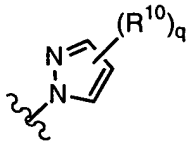
f



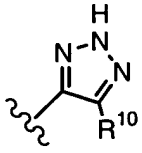
g



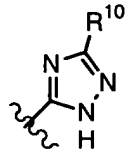
h



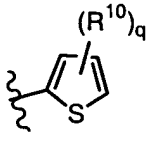
i



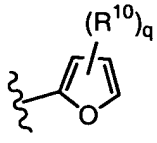
j



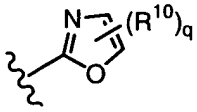
k



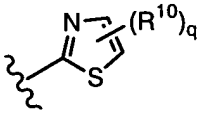
l



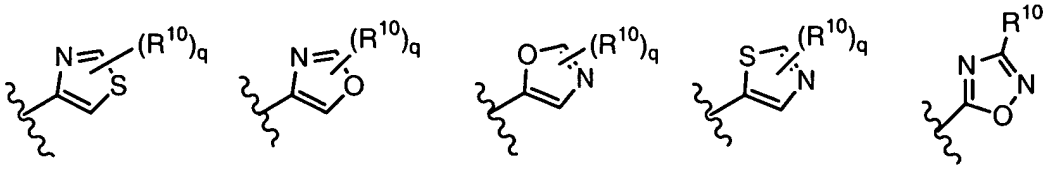
m



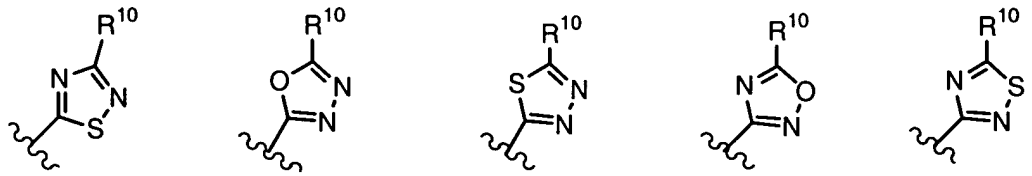
n



o



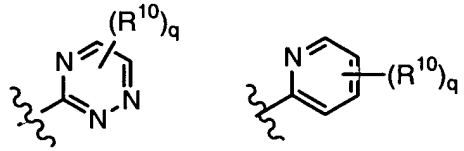
p q r s t



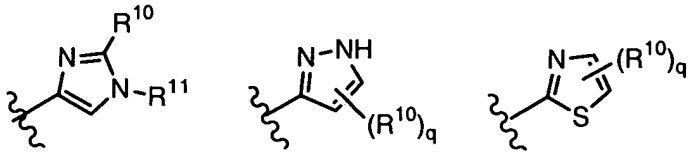
u v w x y

wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

46. The compound of claim 45, wherein Y is one of the following heteroaryl moieties:



a b



f h o

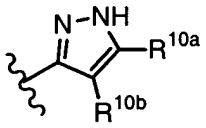
wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen,

optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

47. The compound of claim 45, wherein each R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, or (N-heterocycle)carbonyl.

48. The compound of claim 45, wherein each occurrence of R^{10} is independently methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, or CO(piperidin-1-yl).

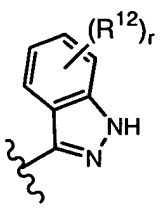
49. The compound of claim 45, wherein, Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b})



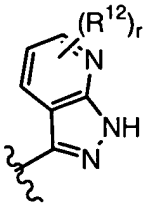
h'

wherein R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl; and R^{10b} is hydrogen.

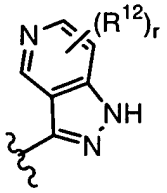
51. The compound of claim 49, wherein two occurrences of R^{10} (R^{10a} and R^{10b} as depicted in formula **h'**) taken together may represent a substituted or unsubstituted cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl moiety and comprises one of the following groups:



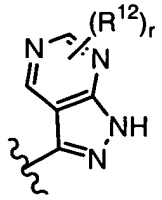
h-i



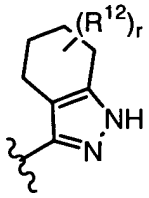
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl, wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

52. The compound of claim 39, wherein when R^3 is $-Q^1$-A-Q^2-Y, R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

53. The compound of claim 51, wherein R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

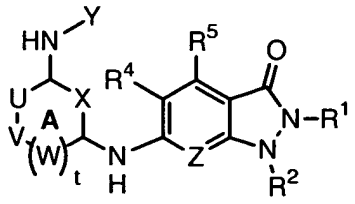
54. The compound of claim 39, wherein when R^4 is $-Q^1$-A-Q^2-Y, R^3 is preferably hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

55. The compound of claim 53, wherein R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

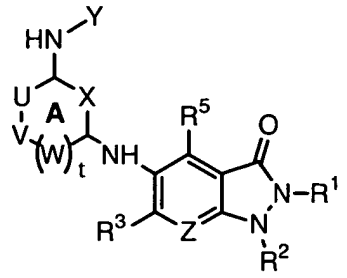
56. The compound of claim 39, wherein R^5 is hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl.

57. The compound of claim 55 wherein R^5 is Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

58. The compound of claim 39, wherein Q^1 is NH and Q^2 is NH, and compounds are defined by the general formula **IIIa(i)** or **IIIb(i)**:

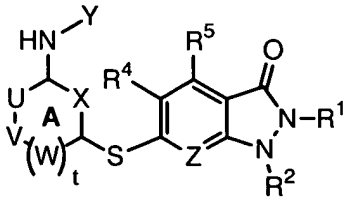


IIIa(i)

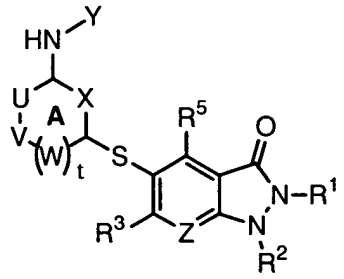


IIIb(i)

59. The compound of claim 39 wherein Q^1 is S, and Q^2 is NH, and compounds are defined by the general formula **IIIa(ii)** or **IIIb(ii)**:

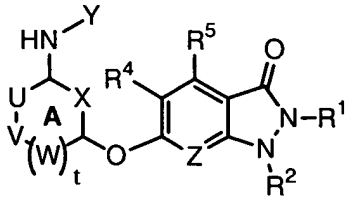


IIIa(ii)

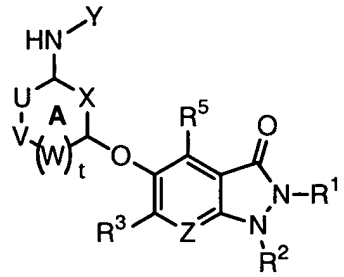


IIIb(ii)

60. The compound of claim 39 wherein Q^1 is O and Q^2 is NH, and compounds are defined by the general formula **IIIa(iii)** or **IIIb(iii)**:

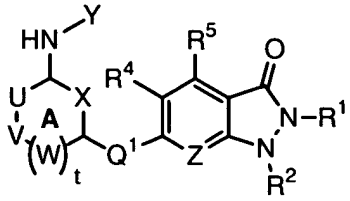


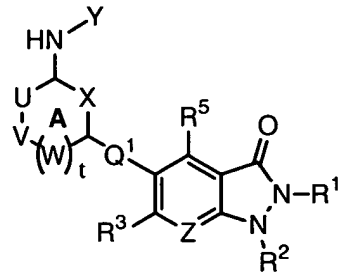
IIIa(iii)



IIIb(iii)

61. The compound of claim 39, wherein Q^2 is NH, and compounds are defined by the general formula **IIIa(iv)** or **IIIb(iv)**:

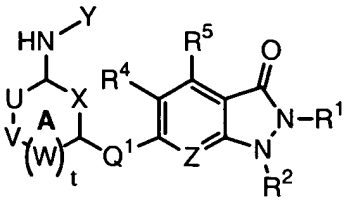


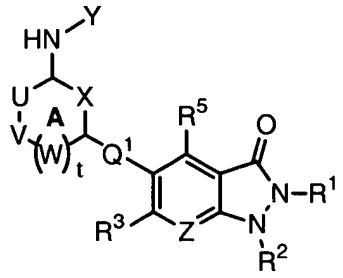


IIIa(iv) **IIIb(iv)**

wherein Q^1 is $-C(R^A)_2-$, 1,2-cyclopropyl, 1,2-cyclobutanediyl, or 1,3-cyclobutanediyl, an optionally substituted C_{2-4}alkylidene group, wherein one methylene unit of the optionally substituted C_{2-4}alkylidene chain is optionally replaced by –O-, -S-, or $-NR^A-$, wherein each occurrence of R^A is independently hydrogen or optionally substituted C_{1-4}aliphatic.

62. The compound of claim 39, wherein Q^2 is NH, and compounds are defined by the general formula **IIIa(v)** or **IIIb(v)**:





IIIa(v) **IIIb(v)**

wherein Q^1 is a direct bond.

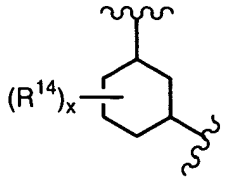
63. The compound of any one of claims 57, 58, 59, 60 or 61, wherein:

i. Z is CR^6 or N;

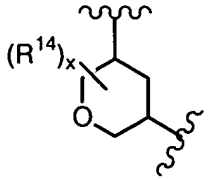
ii. R^1, R^2, R^4 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen; or R^1, R^2, R^3 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen;

iii. ring A is defined according to one of the following groups:

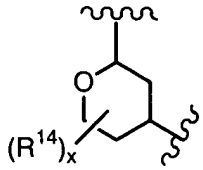
a. ring A is selected from one of the groups:



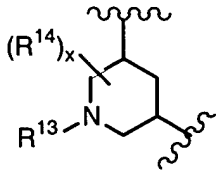
xi



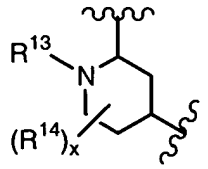
xii



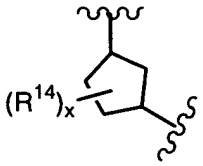
xiii



xiv



xv



xvi

wherein R^{13} is hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring; R^{14} is oxo or –R; and x is 0-4; or

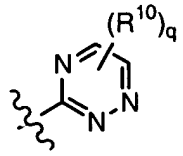
b. ring **A** is selected from one of **xi**, **xii** or **xvi** and x is 0 or 1; R^{14} is -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl; and R^{13} is hydrogen or C_{1-4}alkyl;

iv. Y is defined according to one of the following groups:

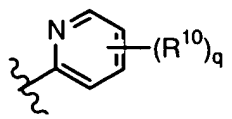
a. Y is an optionally substituted heteroaryl moiety;

b. Y is selected from one of the heteroaryl moieties **a-y**;

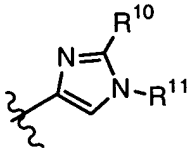
c. Y is selected from one of the following heteroaryl moieties:



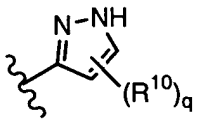
a



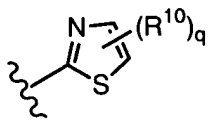
b



f



h



o

wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring;

c. Yis a pyrazole moiety, **h**;

d. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl;

e. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$,

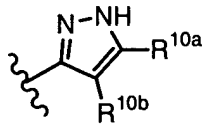
CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl);

f. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),

h'

wherein each occurrence of R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl, and R^{10b} is hydrogen;

g. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),



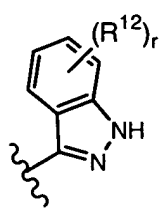
h'

wherein each occurrence of R^{10a} is hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl, and R^{10b} is hydrogen;

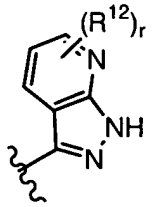
h. Y is heteroaryl moiety substituted by at least two occurrences of R^{10} and where two occurrences of R^{10} taken together may represent an optionally

substituted group selected from cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl;

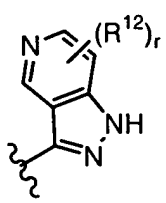
i. Y represents one of the following heteroaryl moieties:



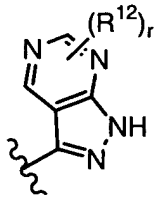
h-i



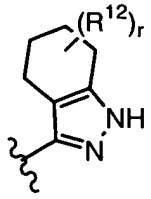
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl;

v. R^4 is defined according to one of the following groups:

a. R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

b. R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$;

vi. R^3 is defined according to one of the following groups:

a. R^3 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

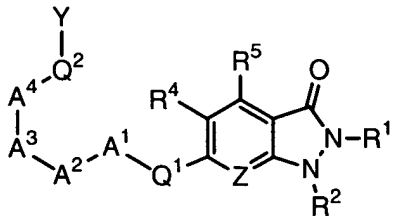
b. R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$; and

vii. R^5 is defined according to one of the following groups:

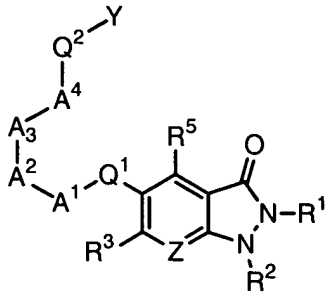
a. hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl, or

b. R^5 is hydrogen, Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

64. The compound of claim 1, wherein either of R^3 or R^4 is $-Q^1$-A-Q^2-Y, wherein A is an optionally substituted C_{2-4}alkylidene unit (represented by A^1-A^2-A^3-A^4) and compounds have the general formula **IVa** or **IVb:**



IVa



IIIb

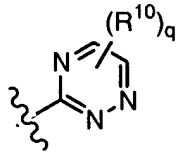
wherein R^1, R^2, R^3, R^5, R^5, Z, Q^1, Q^2, and Y are as defined generally above, each of A^1, A^2, A^3 or A^4 is independently absent or is an optionally substituted methylene unit, wherein each methylene unit is optionally replaced by –O-, -S-, $-NR^B$-, $-NR^BCO$-, $-NR^BCONR^B$-, $-NR^BCO_2$-, -CO-, -C(O)O-, -OC(O)-, $-CONR^B$-, $-OC(O)NR^B$-, $-SO_2$-, $-SO_2NR^B$-, $-NR^BSO_2$-, $-NR^BSO_2NR^B$-, -C(O)C(O)-, or $-C(O)C(R^B)_2C(O)$-, and each occurrence of R^B is independently hydrogen or optionally substituted group selected from C_{1-6} aliphatic, C_{1-6}heteroaliphatic, aryl or heteroaryl,

with the limitation that no more than two of A^1, A^2, A^3 or A^4 is absent.

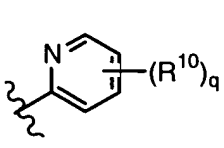
65. The compound of claim 63, wherein one of A^1, A^2, A^3 or A^4 is absent, and the remaining three are each independently selected from an optionally substituted methylene unit, wherein each methylene unit is optionally replaced by –O- or –CO-, wherein the one or more of the methylene units is unsubstituted or is substituted with an aryl, aralkyl or C_{1-6}aliphatic group, or wherein two substituents on the same methylene unit, or two substituents on two adjacent methylene units taken together form a 3-6-membered carbocyclic or heterocyclic ring.

66. The compound of claim 63, wherein Y is an optionally substituted heteroaryl moiety.

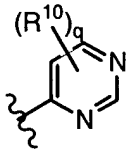
67. The compound of claim 63, wherein Y is selected from one of the following heteroaryl moieties **a-y**:



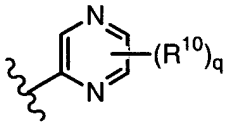
a



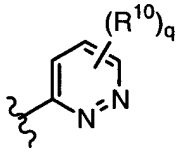
b



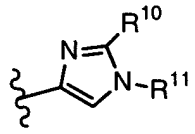
c



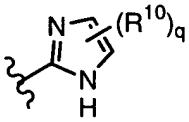
d



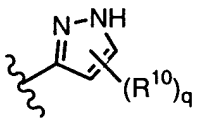
e



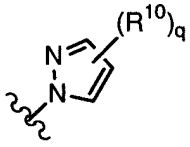
f



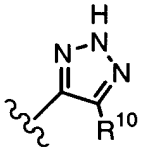
g



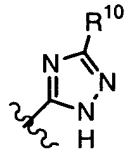
h



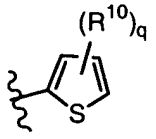
i



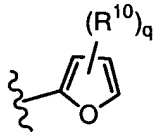
j



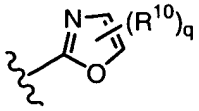
k



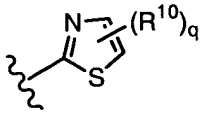
l



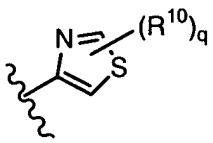
m



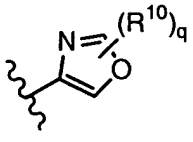
n



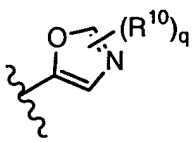
o



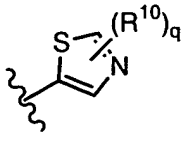
p



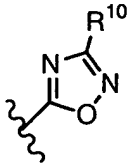
q



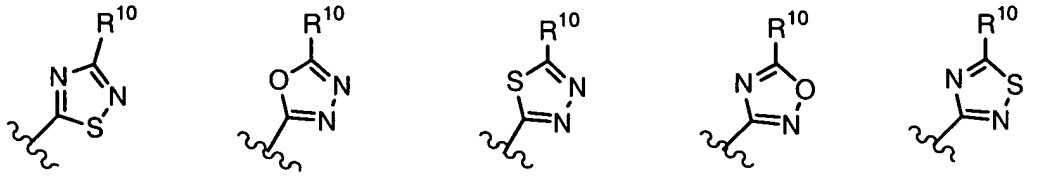
r



s



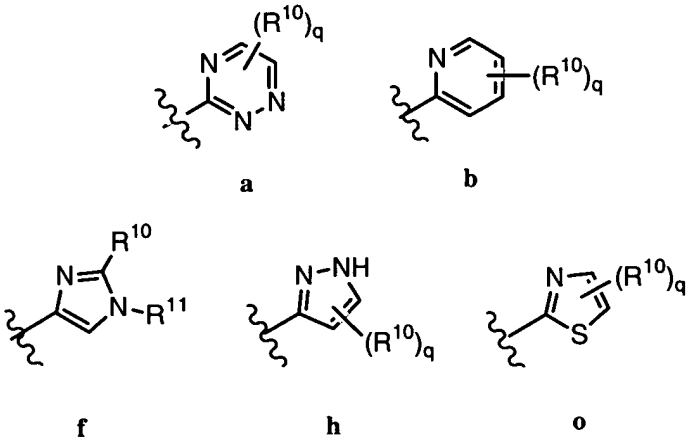
t



u v w x y

wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

68. The compound of claim 66, wherein Y is one of the following heteroaryl moieties:



a b f h o

wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

69. The compound of claim 66, wherein Y is a pyrazole moiety, **h**.

70. The compound of claim 66, wherein each R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, or (N-heterocycle)carbonyl.

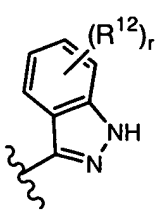
71. The compound of claim 66, wherein each occurrence of R^{10} is independently methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, or CO(piperidin-1-yl).

72. The compound of claim 66, wherein, Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b})

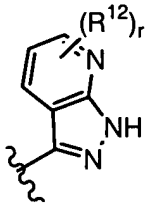
h'

wherein R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl; and R^{10b} is hydrogen.

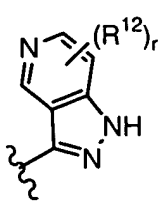
73. The compound of claim 71, wherein two occurrences of R^{10} (R^{10a} and R^{10b} as depicted in formula **h'**) taken together may represent a substituted or unsubstituted cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl moiety and comprises one of the following groups:



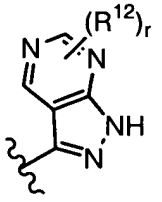
h-i



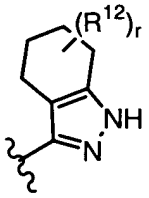
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl, wherein each occurrence of R^7 is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R^7 on the same nitrogen atom are taken together with the nitrogen atom to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

74. The compound of claim 63, wherein when R^3 is $-Q^1$-A-Q^2-Y, R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

75. The compound of claim 74, wherein R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

76. The compound of claim 63, wherein when R^4 is $-Q^1$-A-Q^2-Y, R^3 is preferably hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl.

77. The compound of claim 76, wherein R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$.

78. The compound of claim 63, wherein R^5 is hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl.

79. The compound of claim 78 wherein R^5 is Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

80. The compound of claim 63, wherein Q^1 is NH and Q^2 is NH and have the general formula **IVa(i)** or **IVb(i)**.

81. The compound of claim 63, wherein Q^1 is O and Q^2 is NH, and have the general formula **IVa(ii)** or **IVb(ii)**.

82. The compound of claim 63, wherein Q^1 is S and Q^2 is NH, and have the general formula **IVa(iii)** or **IVb(iii)**.

83. The compound of claim 63, wherein Q^1 is an optionally substituted methylene unit –$(C(R^A)_2)$- and Q^2 is NH, and have the general formula **IVa(iv)** or **IVb(iv)**.

84. The compound of any one of claims 79, 80, 81 or 82, wherein:

i. Z is CR^6 or N;

ii. R^1, R^2, R^4 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen; or R^1, R^2, R^3 and R^5 are each hydrogen and wherein Z is CHR^6 and R^6 is hydrogen;

iii. A is defined according to one of the following groups:

a. only one of A^1, A^2, A^3 or A^4 is absent;

b. only one of A^1, A^2, A^3 or A^4 is absent, and the remaining three are each independently selected from an optionally substituted methylene unit, wherein each methylene unit is optionally replaced by –O- or –CO-;

c. only one of A^1, A^2, A^3 or A^4 is absent, and the remaining three are each independently selected from an optionally substituted methylene unit, wherein each methylene unit is optionally replaced by –O- or –CO-; wherein one or more of the methylene units represented by A^1, A^2, A^3 or A^4 is unsubstituted or is substituted with an aryl, aralkyl or C_{1-6}aliphatic group;

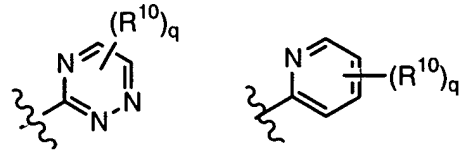
d. only one of A^1, A^2, A^3 or A^4 is absent, and the remaining three are each independently selected from an optionally substituted methylene unit, wherein each methylene unit is optionally replaced by –O- or –CO-; and wherein two substituents on the same methylene unit, or two substituents on adjacent methylene units, taken together form an optionally substituted 3-6-membered carbocyclic or heterocyclic ring;

iv. Y is defined according to one of the following groups:

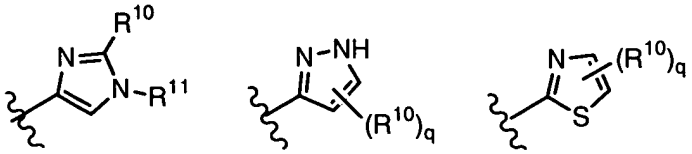
a. Y is an optionally substituted heteroaryl moiety;

b. Y is selected from one of the heteroaryl moieties **a-y**;

c. Y is selected from one of the following heteroaryl moieties:



a b



f h o

wherein q is 0-4, R^{10} is –R, wherein –R is defined generally above and in classes and subclasses herein, and wherein each occurrence of R^{11} is independently hydrogen, –R', -COR', $-CO_2(R')$, $-CON(R')_2$, or $-SO_2R'$, wherein each occurrence of R' is independently hydrogen, an optionally substituted group selected from aliphatic, heteroaliphatic, aryl or heteroaryl, or two occurrences of R' on the same nitrogen atom are taken together with the nitrogen to form an optionally substituted group selected from a 5-8 membered heterocyclic or 5-8 membered heteroaryl ring.

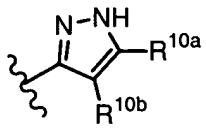
d. Y is a pyrazole moiety, **h**;

e. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl;

f. Y is one of **a**, **b**, **f**, **h** or **o**, optionally substituted with one or more R^{10} groups, wherein each occurrence of R^{10} is independently hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$,

CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl).

g. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),



h'

wherein each occurrence of R^{10a} is hydrogen, C_{1-4}aliphatic, alkoxycarbonyl, optionally substituted phenyl, hydroxyalkyl, alkoxyalkyl, aminocarbonyl, mono- or dialkylaminocarbonyl, aminoalkyl, alkylaminoalkyl, dialkylaminoalkyl, phenylaminocarbonyl, and (N-heterocycle)carbonyl, and R^{10b} is hydrogen;

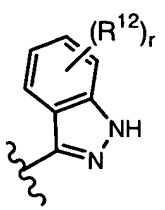
h. Y is a pyrazole moiety, **h'**, wherein the pyrazole is substituted with two occurrences of R^{10} (R^{10a} and R^{10b} as depicted),

h'

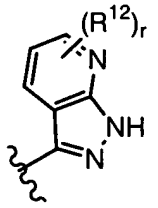
wherein each occurrence of R^{10a} is hydrogen, methyl, cyclopropyl, ethyl, isopropyl, propyl, t-butyl, cyclopentyl, phenyl, CO_2H, CO_2CH_3, CH_2OH, CH_2OCH_3, $CH_2CH_2CH_2OH$, $CH_2CH_2CH_2OCH_3$, $CH_2CH_2CH_2OCH_2Ph$, $CH_2CH_2CH_2NH_2$, $CH_2CH_2CH_2NHCOOC(CH_3)_3$, $CONHCH(CH_3)_2$, $CONHCH_2CH{=}CH_2$, $CONHCH_2CH_2OCH_3$, $CONHCH_2Ph$, CONH(cyclohexyl), $CON(Et)_2$, $CON(CH_3)CH_2Ph$, $CONH(n\text{-}C_3H_7)$, $CON(Et)CH_2CH_2CH_3$, $CONHCH_2CH(CH_3)_2$, $CON(n\text{-}C_3H_7)_2$, CO(3-methoxymethylpyrrolidin-1-yl), CONH(3-tolyl), CONH(4-tolyl), $CONHCH_3$, CO(morpholin-1-yl), CO(4-methylpiperazin-1-yl), $CONHCH_2CH_2OH$, $CONH_2$, and CO(piperidin-1-yl, and R^{10b} is hydrogen;

i. Y is heteroaryl moiety substituted by at least two occurrences of R^{10} and where two occurrences of R^{10} taken together may represent an optionally substituted group selected from cycloaliphatic, cycloheteroaliphatic, aryl or heteroaryl;

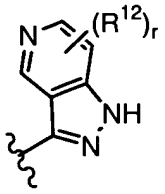
j. Y represents one of the following heteroaryl moieties:



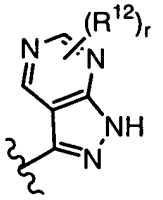
h-i



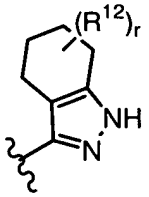
h-ii



h-iii



h-iv



h-v

wherein r is 0-4 and R^{12} is hydrogen, -halo, $-N(R^7)_2$, $-C_{1-3}$ alkyl, $-C_{1-3}$ haloalkyl, $-NO_2$, $-O(C_{1-3}$ alkyl), $-CO_2(C_{1-3}$ alkyl), -CN, $-SO_2(C_{1-3}$ alkyl), $-SO_2NH_2$, $-OC(O)NH_2$, $-NH_2SO_2(C_{1-3}$ alkyl), $-NHC(O)(C_{1-3}$ alkyl), $-C(O)NH_2$, and $-CO(C_{1-3}$ alkyl), wherein the (C_{1-3} alkyl) is most preferably methyl;

v. R^4 is defined according to one of the following groups:

a. R^4 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

b. R^4 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$;

vi. R^3 is defined according to one of the following groups:

a. R^3 is hydrogen, C_{1-3}aliphatic, hydroxy, hydroxyalkyl, alkoxy, amino, aminoalkyl, mono- or di- alkylamino, mono- or di- alkylaminoalkyl, or optionally substituted phenyl, or

b. R^3 is hydrogen, methyl, ethyl, cyclopropyl, hydroxy, phenyl or $-CH_2NH_2$; and

vii. R^5 is defined according to one of the following groups:

a. hydrogen, halogen, $-NO_2$, -CN, hydroxy, optionally substituted C_{1-3}alkyl, optionally substituted alkoxy, $-SO_2NH_2$, or -C(O)alkyl, or

b. R^5 is hydrogen, Cl, CF_3, OCF_3, CH_3, -CN, $-SO_2NH_2$ or –C(O)Me.

85. A pharmaceutical composition comprising a compound of claim 1, and a pharmaceutically acceptable carrier, adjuvant, or vehicle.

86. The composition according to claim 85, further comprising an additional therapeutic agent.

87. A method of inhibiting a PRAK, GSK3, ERK2, CDK2, MK2, SRC, SYK, or Aurora-2 family kinase activity in a biological sample comprising the step of contacting said biological sample with:

a) a composition according to claim 85; or

b) a compound of claim 1

or a pharmaceutically acceptable derivative thereof.

88. A method of treating or lessening the severity of a PRAK, GSK3, ERK2, CDK2, MK2, SRC, SYK, or Aurora-2-mediated disease or condition in a patient comprising the step of administering to said patient a composition according to claim 85.

89. A method of treating or lessening the severity of a disease or condition selected from cardiovascular diseases, diabetes, neurological disorders, immunodeficiency disorders, inflammatory diseases, allergic diseases, autoimmune diseases, destructive bone disorders such as osteoporosis, proliferative disorders, infectious diseases and viral diseases comprising the step of administering to said patient a composition according to claim 84.

90. The method according to claim 89, comprising the additional step of administering to said patient an additional therapeutic agent selected from an anti-proliferative agent, an anti-inflammatory agent, an immunomodulatory agent, a neurotrophic factor, an anti-infective agent,

an antiviral agent, or an agent for treating cardiovascular disease, wherein:

said additional therapeutic agent is appropriate for the disease being treated; and

said additional therapeutic agent is administered together with said composition as a single dosage form or separately from said composition as part of a multiple dosage form.